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 * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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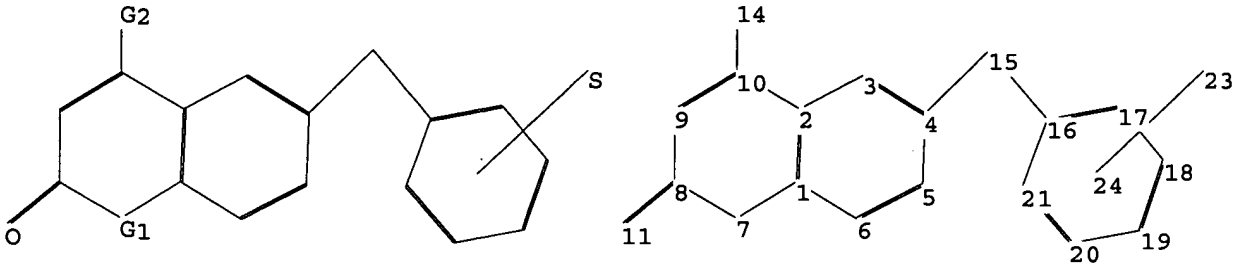


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chain nodes :
11  14  15  23
ring nodes :
1  2  3  4  5  6  7  8  9  10  16  17  18  19  20  21
chain bonds :
4-15  8-11  10-14  15-16
ring bonds :
1-2  1-6  1-7  2-3  2-10  3-4  4-5  5-6  7-8  8-9  9-10  16-17  16-21  17-18  18-19
 19-20  20-21
exact/norm bonds :
1-7  2-10  4-15  7-8  8-9  8-11  9-10  10-14  15-16
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  16-17  16-21  17-18  18-19  19-20  20-21
isolated ring systems :
containing 1 : 16 :


G1:O,N

G2:C,H,O

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom  21:Atom
23:CLASS  24:CLASS



L1       STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1               STR
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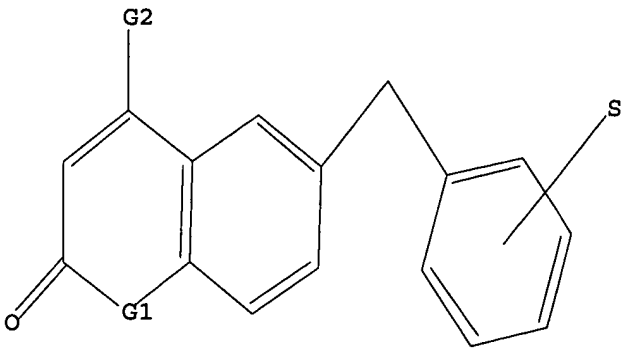

G1 O,N

G2 C,H,O

Structure attributes must be viewed using STN Express query preparation.

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L3          277 SEA SSS FUL L1

=> file ca


=> s l3
L4            2 L3

=> d ibib abs fhitstr 1-2
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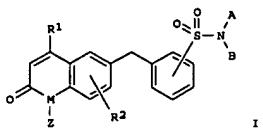
L4 ANSWER 1 OF 2 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:6440 CA
TITLE: Benzyl sulfonamide quinoline and chromene derivatives as androgen receptor antagonists and their preparation, pharmaceutical compositions, and uses
INVENTOR(S): Du, Daniel Yonlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben; Williams, Geoffrey
Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101544	A1	20041125	WO 2004-IB1570	20040503
WO 2004101544	C1	20051201		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005137228	A1	20050623	US 2004-824456	20040414
PRIORITY APPLN. INFO.:			US 2003-470569P	P 20030514

OTHER SOURCE(S): MARPAT 142:6440
GI

L4 ANSWER 1 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)



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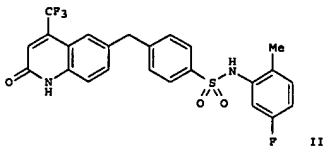
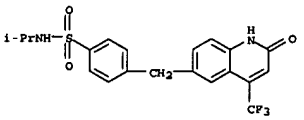


II

AB The invention is directed to 6-(sulfamoylbenzyl)-quinoline/chromene derivs. of formula I, to their use as androgen antagonists, and to formulations containing them. In particular, I are claimed [wherein: M is N(Z) or O; Z is H or alkyl; R1 is H, (halo)alkyl, (halo)alkoxy; R2 is absent, or 1-2 halogen, nitrile, hydroxy, alk(en/yn)yl, alkoxy, haloalkyl, haloalkoxy, SR4, and NR4R5; R4 is H, alkyl, (un)substituted Ph or CH2Ph; R5 = H, alkyl, (un)substituted Ph, benzyl, heteroaryl, or heterocyclic; A and B are independently H, alk(en/yn)yl, alkanol, (un)substituted cycloalkyl, cycloalkenyl, Ph, cycloalkylphenyl, heterocyclic, heteroaryl, alkyl-R6, (CH2)mR7Y(CH2)nXR5, and, (CH2)qCHX1X2; R6 is nitrile, OH, (un)substituted Ph, cycloalkylphenyl, heterocyclic, heteroaryl, cycloalk(en)yl, SR4, NR4R5; R7 is absent, or is (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, or Ph; R8 is absent or is alkyl, (un)substituted cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; m is 0, 1, 2, 3, or 4; Y is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; n is 0, 1, 2, 3, or 4; X is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; q is 0, 1, 2, 3, or 4; X1 is OH, nitrile, alk(en/yn)yl, alkanol, haloalkyl, haloalkoxy, (un)substituted cycloalk(en}yl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; X2 is cycloalkyl, (un)substituted cycloalkenyl, heteroaryl, heterocyclic, Ph, cycloalkylphenyl, CH2C(O)OR4; including pharmaceutically acceptable salts, solvates, and prodrugs thereof]. Over 200 example compds. were prepared and tested in an androgen receptor assay in vitro. For instance, cyclocondensation of 4-benzylaniline with CF3COCH2CO2Et in refluxing PhMe, sulfonation of the product in H2SO4 at 90°, and treatment with (COCl)2, gave 4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonyl chloride. Treatment of this compd. or its chromene analog with a variety of amines gave compds. I, e.g., compd. II. In a test for inhibition of binding of DHT to androgen receptors expressed in MDA-MB453 human breast tumor cells, II had an IC50 value of 1.12 μM.

IT **799298-02-5P**, N-Isopropyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzyl sulfonamide quinoline and chromene derivs. as androgen receptor antagonists)

RN 799298-02-5 CA
CN Benzenesulfonamide, 4-[[1,2-dihydro-2-oxo-4-(trifluoromethyl)-6-quinolinyl]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



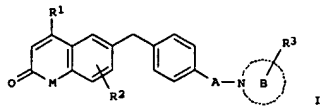
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:174085 CA
TITLE: Preparation of a new class of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivatives as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor; Procter,
Martin James; Schofield, Karen Lesley; Shah, Vilasben Kanji; Williams, Geoffrey Martyn
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065539	A2	20040805	WO 2004-IB117	20040108
WO 2004065539	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
CA 2511491	AA	20040805	CA 2004-2511491	20040108
EP 1587509	A2	20051026	EP 2004-700746	20040108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005085466	A1	20050421	US 2004-758581	20040115
PRIORITY APPLN. INFO.:			US 2003-441050P	P 20030117
			WO 2004-IB117	W 20040108

OTHER SOURCE(S): MARPAT 141:174085
GI

L4 ANSWER 2 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)



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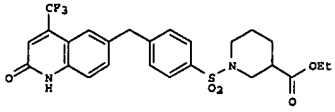


II

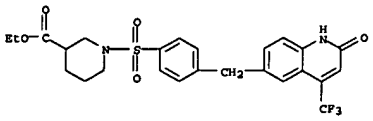
AB The title compds. [I; M = NZ, O; Z = H, alkyl; R1 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R2 = absent, halo, CN, OH, alkoxy, etc.; A = SO2; R3 = absent, halo, OH, CN, alkoxy, etc.; B = nitrogen containing heterocyclic ring], useful as androgen antagonists, and to relieve conditions associated with inappropriate activation of the androgen receptor, were prepared The exemplified compds. I (such as II) were prepared by solution phase parallel synthesis and tested for AR antagonistic activity. In human breast cancer tumor cell, e.g., MDA-MB-453-MMTV clone 54-19, inhibition studies, 65-examples of compds. I exhibited IC50 values ranging from 0.52- >10 μM. Compds. I are claimed useful for the treatment of conditions associated with inappropriate activation of the androgen receptor, e.g., acne, alopecia and oily skin.

IT 733811-66-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivs. as androgen receptor antagonists)

RN 733811-66-0 CA

CN 3-Piperidinecarboxylic acid, 1-[[4-[[1,2-dihydro-2-oxo-4-(trifluoromethyl)-6-quinolinyl]methyl]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)



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L6 ANSWER 1 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:6440 MARPAT
TITLE: Benzyl sulfonamide quinoline and chromene derivatives as androgen receptor antagonists and their preparation, pharmaceutical compositions, and uses
INVENTOR(S): Du, Daniel Yonlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben; Williams, Geoffrey
Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101544	A1	20041125	WO 2004-IB1570	20040503
WO 2004101544	C1	20051201		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005137228	A1	20050623	US 2004-824456	20040414

PRIORITY APPLN. INFO.: US 2003-470569P 20030514
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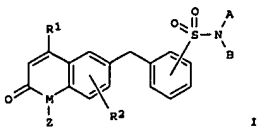
L6 ANSWER 1 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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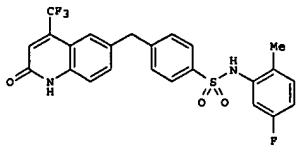
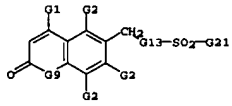


II

AB The invention is directed to 6-(sulfamoylbenzyl)-quinoline/chromene derivs. of formula I, to their use as androgen antagonists, and to formulations containing them. In particular, I are claimed [wherein: M is N(Z) or O; Z is H or alkyl; R1 is H, (halo)alkyl, (halo)alkoxy; R2 is absent, or 1-2 halogen, nitrile, hydroxy, alk(en/yn)yl, alkoxy, haloalkyl, haloalkoxy, SR4, and NR4R5; R4 is H, alkyl, (un)substituted Ph or CH2Ph; R5 = H, alkyl, (un)substituted Ph, benzyl, heteroaryl, or heterocyclic; A and B are independently H, alk(en/yn)yl, alkanol, (un)substituted cycloalkyl, cycloalkenyl, Ph, cycloalkylphenyl, heterocyclic, heteroaryl, alkyl-R6, (CH2)mR7Y(CH2)nXR5, and, (CH2)qCHX1X2; R6 is nitrile, OH, (un)substituted Ph, cycloalkylphenyl, heterocyclic, heteroaryl, cycloalk(en)yl, SR4, NR4R5; R7 is absent, or is (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, or Ph; R8 is absent or is alkyl, (un)substituted cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; m is 0, 1, 2, 3, or 4; Y is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; n is 0, 1, 2, 3, or 4; X is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; q is 0, 1, 2, 3, or 4; X1 is OH, nitrile, alk(en/yn)yl, alkanol, haloalkyl, haloalkoxy, (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; X2 is cycloalkyl, (un)substituted cycloalkenyl, heteroaryl, heterocyclic, Ph, cycloalkylphenyl, CH2C(O)OR4; including pharmaceutically acceptable salts, solvates, and prodrugs thereof]. Over 200 example compds. were prepared and tested in an androgen receptor assay in vitro. For instance, cyclocondensation of 4-benzylaniline with CF3COCH2CO2Et in refluxing PhMe, sulfonation of the product in H2SO4 at 90°, and treatment with (COCl)2, gave 4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonyl chloride. Treatment of this compd. or its chromene analog with a variety of amines gave compds. I, e.g., compd. II. In a test for inhibition of binding of DHT to androgen receptors expressed in MDA-MB453 human breast tumor cells, II had an IC50 value of 1.12 μM.

L6 ANSWER 1 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1



G1 = Me
G9 = O
G13 = o-C6H4
Patent location: claim 1
Note: and pharmaceutically acceptable salts, solvates, and prodrugs

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:174085 MARPAT
TITLE: Preparation of a new class of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivatives as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor; Procter, Martin James; Schofield, Karen Lesley; Shah, Vilasben Kanji; Williams, Geoffrey Martyn
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065539	A2	20040805	WO 2004-IB117	20040108
WO 2004065539	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
CA 2511491	AA	20040805	CA 2004-2511491	20040108
EP 1587509	A2	20051026	EP 2004-700746	20040108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005085466	A1	20050421	US 2004-758581	20040115

PRIORITY APPLN. INFO.: US 2003-441050P 20030117
WO 2004-IB117 20040108
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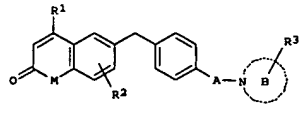

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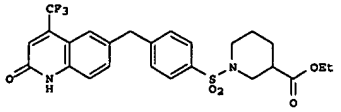
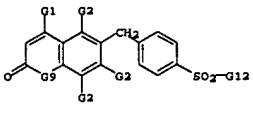


II

L6 ANSWER 2 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB The title compds. [I; M = NZ, O; Z = H, alkyl; R1 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R2 = absent, halo, CN, OH, alkoxy, etc.; A = SO2; R3 = absent, halo, OH, CN, alkoxy, etc.; B = nitrogen containing heterocyclic ring], useful as androgen antagonists, and to relieve conditions associated with inappropriate activation of the androgen receptor, were prepared The exemplified compds. I (such as II) were prepared by solution phase parallel synthesis and tested for AR antagonistic activity. In human breast cancer tumor cell, e.g., MDA-MB-453-MMTV clone 54-19, inhibition studies, 65-examples of compds. I exhibited IC50 values ranging from 0.52- >10 μM. Compds. I are claimed useful for the treatment of conditions associated with inappropriate activation of the androgen receptor, e.g., acne, alopecia and oily skin.

MSTR 1



G1 = Me
G9 = O
Patent location: claim 1
Note: and pharmaceutically acceptable salts, solvates, and prodrugs

L6 ANSWER 3 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:55144 MARPAT
TITLE: Preparation and use of oxime ester photoinitiators with heteroaromatic groups and their photopolymerizable compositions
INVENTOR(S): Tanabe, Junichi; Kura, Hisatoshi; Oka, Hidetaka; Ohwa, Masaki
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050653	A2	20040617	WO 2003-EP50880	20031124
WO 2004050653	A3	20040916		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2505893	AA	20040617	CA 2003-2505893	20031124
EP 1567518	A2	20050831	EP 2003-789449	20031124
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			EP 2002-406054	20021203
			WO 2003-EP50880	20031124

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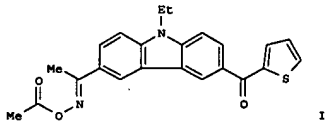
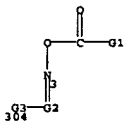


I

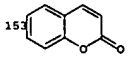
AB The invention is related to preparation and use of oxime ester photoinitiators

L6 ANSWER 3 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
with heteroarom. groups and their photopolymerizable compns., wherein the oxime is a deriv. of formula R2C(H):N-O-C(:O)-R1 [R1 = H, cycloalkyl, alkenyl, (un)substituted alkyl, Ph, etc.; R2 = (un)substituted heteroaroylaryl, heteroaroylhetaryl; aroylhetaryl, etc.]. For example, I was prepd. by acylation of N-ethylcarbazole with thiophen-2-carbonylchloride/acetylation with acetyl chloride, oximation of the ethanone, and O-acylation.

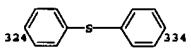
MSTR 1



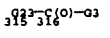
G3 = 153



G23 = 324-308 334-316



G25 = 315



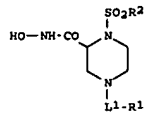
Patent location: claim 1
Note: substitution is restricted
Note: additional derivatization also claimed
Note: incorporates structures 1/2/3

L6 ANSWER 4 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:42210 MARPAT
TITLE: Preparation of 1-sulfonyl-2-piperazinehydroxamic acids as selective inhibitors of human ADAM-10 for treating cancer, arthritis and diseases related to angiogenesis
INVENTOR(S): Bannen, Lynne Canne; Co, Erick W.; Jammalamadaka, Vasu; Nuss, John M.; Kim, Moon Hwan; Le Tra, Donna; Lew, Amy; Mac, Morrison B.; Mamo, Shumeye; Wen, Zhaoyang; Xu, Wei
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

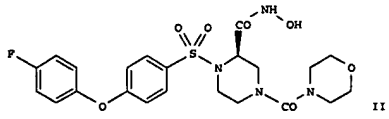
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106381	A2	20031224	WO 2003-US18262	20030611
WO 2003106381	A3	20040415		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2485346	AA	20031224	CA 2003-2485346	20030611
EP 1511488	A2	20050309	EP 2003-736979	20030611
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005533789	T2	20051110	JP 2004-513217	20030611
PRIORITY APPLN. INFO.:			US 2002-388326P	20020612
			WO 2003-US18262	20030611

GI

L6 ANSWER 4 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



II

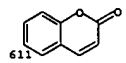
AB The present invention provides 1-sulfonyl-2-piperazinehydroxamic acids (shown as I; variables defined below; e.g. II) useful for inhibiting the ADAM-10 protein, with selectivity vs. MMP-1. Inhibition activities of 66 examples of I towards ≤8 metalloproteinases are tabulated. Such compds. are useful in the in vitro study of the role of ADAM-10 (and its inhibition) in biol. processes. The present invention also comprises pharmaceutical compns. comprising ≥1 ADAM-10 inhibitors according to the invention in combination with a pharmaceutically acceptable carrier. Such compns. are useful for the treatment of cancer, arthritis, and diseases related to angiogenesis. Correspondingly, the invention also comprises methods of treating forms of cancer, arthritis, and diseases related to angiogenesis in which ADAM-10 plays a critical role. A method of preparation of sulfonyl halide intermediates is claimed. For example, [4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl chloride was prepared in 3 steps (105, 98 and 83 % yields) starting from 3,4,5-trifluoronitrobenzene, 4-fluorophenol, and Cs2CO3 in DMF and involving intermediates 4-(4-fluorophenoxy)-3,5-difluoronitrobenzene and 4-(4-fluorophenoxy)-3,5-difluoroaniline. The prepared [4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl chloride was used in a 5-step procedure (65, 78, -, 69 and 62 % yields) to give II involving intermediates

(R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-boc-piperazine-2-carboxylic acid, Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-boc-piperazine-2-carboxylate, Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]piperazine-2-carboxylate trifluoroacetate and Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-(ethoxycarbonyl)piperazine-2-carboxylate. Although the methods of preparation of I are not claimed, several example prepns. and characterization data for 66 examples of I are included. For I: L1 is -C(O)-, -S(O)2-, or -(CH2)n-; R1 is -H, -OR11, -(CH2)nR11,

L6 ANSWER 4 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
-C(O)R11, or -NR12R13; R2 is -R21-L2-R22 (R21 is satd. or mono- or poly-unsatd. C5-C14-mono- or fused poly- cyclic hydrocarbyl, optionally contg. one or two annular heteroatoms per ring and (un)substituted with 1-3 R50 substituents; L2 is -O-, -C(O)-, -CH2-, -NH-, -SO2- or a direct bond; R22 is satd. or mono- or poly- unsatd. C5-C14-mono- or fused polycyclic hydrocarbyl, optionally contg. one or two annular heteroatoms per ring and (un)substituted with 1-3 R50 substituents); n = 0-3; provided that an O or S is not singly bonded to another O or S in a chain of atoms; addnl. details are given in the claims.

MSTR 1

G3 = phenylene (opt. substd. by G6)
G4 = C(O)
G5 = 611



Patent location: claim 1
Note: also incorporates claim 45
Note: and pharmaceutically acceptable salts, esters, amides, and prodrugs

L6 ANSWER 5 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:56082 MARPAT
TITLE: Preparation of phosphorus-substituted quinolines as therapeutic agents
INVENTOR(S): Wang, Yihan; Metcalf, Chester A., III; Shakespeare, William C.; Sawyer, Tomi K.; Bohacek, Regine
PATENT ASSIGNEE(S): Ariad Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000705	A1	20030103	WO 2002-US19672	20020621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003105065	A1	20030605	US 2002-177990	20020621
US 6706699	B2	20040316		
EP 1412367	A1	20040428	EP 2003-756260	20020621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004152671	A1	20040805	US 2003-716239	20031117

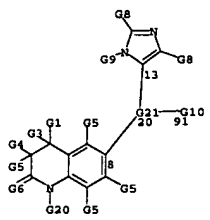
PRIORITY APPLN. INFO.: US 2001-299918P 20010621
US 2002-177990 20020621
WO 2002-US19672 20020621

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Phosphorus-substituted quinolines [e.g, I; wherein X = O, S, amino; R1 = H, O, aliphatic, heteroaliph., aryl, heteroaryl; R2 = aliphatic, heteroaliph., aryl, heteroaryl; R3, R4, R6, R7, independently = H, aliphatic, heteroaliph., aryl, heteroaryl, halo, cyano, alkylcarbonyl, etc.; R5 = aryl, heteroaryl; R8 = H, aliphatic, heteroaliph.; AK = (CR9CR10) (wherein R9, R10, independently = H, aliphatic); p = 0, 1, 2, 3; q = 0, 1, 2, 3, 4, 5; r = 0, 1, 2; at least one of R2 or R5 is a phosphorus-containing moiety] were prepared Compound (II) is exemplary. The prepared compds. are useful as, inter alia, anticancer agents, antiproliferative agents, and agents for the treatment of osteoporosis (no data).

L6 ANSWER 5 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
MSTR 1



G6 = O
G17 = phenylene
G21 = 416

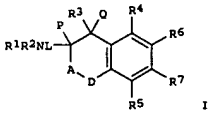
G28 = S
G42 = alkylene <containing 1-20 C> (opt. substd.)
Patent location: claim 1
Note: additional substitution also claimed
Note: substitution is restricted
Note: and pharmaceutically acceptable salts

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:14004 MARPAT
TITLE: Preparation of benzofurylbenzonitriles and related compounds as histamine H3 receptor ligands.
INVENTOR(S): Cowart, Marlon D.; Bennani, Youssef L.; Faghih, Ramin; Black, Lawrence A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 810,648.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002183309	A1	20021205	US 2002-44495	20020111
US 2002177589	A1	20021128	US 2001-810648	20010316
CA 2440238	AA	20020926	CA 2002-2440238	20020311
WO 2002074758	A2	20020926	WO 2002-US7107	20020311
WO 2002074758	A3	20030320		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1370546	A2	20031217	EP 2002-715079	20020311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005500986	T2	20050113	JP 2002-573767	20020311
BR 2002005829	A	20050308	BR 2002-5829	20020311
PRIORITY APPLN. INFO.:			US 2001-276793P	20010316
			US 2001-810648	20010316
			US 2002-44495	20020111
			US 2002-81207	20020225
			WO 2002-US7107	20020311

GI

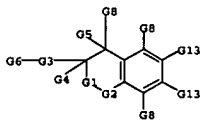


I

L6 ANSWER 6 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. [I; A = CO, bond; D = O, S; L = CH2CH2, CH2CH2CH2; PQ = bond; P, Q = H; R1, R2 = H, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclealkyl, hydroxyalkyl, alkenyl, alkynyl; R1R2N = heterocycle; R3, R4, R5 = H, alkoxy, alkoxycarbonyl, alkyl, alkylcarbonyl, alkylcarbonyloxy, alkylsulfinyl, alkylsulfonyl, akylthio, CO2H, carboxyalkyl, cyano, cyanoalkyl, CHO, halo, haloalkoxy, haloalkyl, OH, hydroxyalkyl, SH, NO2, NRARB, (NRARB)alkyl, (NRARB)CO, (NRARB)SO2; R6, R7 = H, alkoxy, alkoxycarbonyl, alkyl, alkylcarbonyl, alkylcarbonyloxy, alkylsulfinyl, alkylsulfonyl, alkylthio, aryl, CO2H, carboxyalkyl, cyano, cyanoalkyl, cycloalkyl, CHO, halo, haloalkoxy, haloalkyl, heterocyclyl, OH, hydroxyalkyl, SH, NO2, NRARB, (NRARB)alkyl, (NRARB)carbonyl, (NRARB)sulfonyl, L2R20; L2 = alkylene, alkenylene, O, S, CO, N(OR21), N(RA); R20 = aryl, heterocyclyl, cycloalkyl; R21 = H alkyl; RA, RB = H, alkyl, alkylcarbonyl, formyl; provided that ≥1, but not both, of R6, R7 = aryl, heterocyclyl, cycloalkyl, L2R20], were prepared Thus, 4-[2-(2-Et methanesulfonyl)-1-benzofuran-5-yl]benzonitrile (preparation given), 2-(R)-methylpyrrolidine hydrobromide, and Na2CO3 were heated in MeCN at 50° for 48 h to give 34% 4-[2-[2-((2R)-2-methylpyrrolidinyl)ethyl]-1-benzofuran-5-yl]benzonitrile. The latter bound to H3 receptors with Ki = 4.44 nM.

MSTR 1



G1 = C(O)
G2 = O
G8 = alkoxycarbonyl <containing 1-10 C>
G14 = C(O)
G15 = Ph (opt. substd. by 1 or more G17)
G17 = alkylthio <containing 1-10 C>
Patent location: claim 1
Note: or pharmaceutically acceptable salts or prodrugs
Note: substitution is restricted

L6 ANSWER 7 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:247602 MARPAT
TITLE: Preparation of (pyrrolidinylalkyl)benzofurans and analogs as histamine-3 receptor ligands for treatment of disorders related to CNS neurotransmission
INVENTOR(S): Cowart, Marlon D.; Bennani, Youssef L.; Faghih, Ramin; Gfesser, Gregory A.; Black, Lawrence A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074758	A2	20020926	WO 2002-US7107	20020311
WO 2002074758	A3	20030320		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002177589	A1	20021128	US 2001-810648	20010316
US 2002183309	A1	20021205	US 2002-44495	20020111
US 2002169188	A1	20021114	US 2002-81207	20020225
US 6969730	B2	20051129		
CA 2440238	AA	20020926	CA 2002-2440238	20020311
EP 1370546	A2	20031217	EP 2002-715079	20020311
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BR 2002005829	A	20050308	BR 2002-5829	20020311
US 2005192277	A1	20050901	US 2005-102415	20050408
PRIORITY APPLN. INFO.:			US 2001-276793P	20010316
			US 2001-810648	20010316
			US 2002-44495	20020111
			US 2002-81207	20020225
			WO 2002-US7107	20020311

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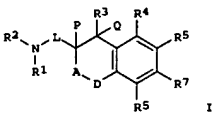
L6 ANSWER 7 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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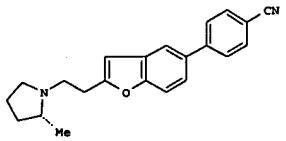
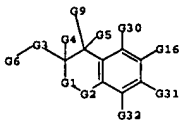


II

AB Title compds. I [wherein A = CO or covalent bond; D = O or S; L = alkylene, fluoroalkylene, or hydroxyalkylene; P and Q taken together form a covalent bond or are both H; R1 and R2 = independently H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, heterocyclyl(alkyl), hydroxyalkyl, alkenyl, or alkynyl; or NR1R2 = heterocyclyl; R3 = H, alkoxy(carbonyl), (halo)alkyl, alkylcarbonyl(oxy), alkylsufinyl, alkylsulfonyl, alkylthio, aryl, carboxy(alkyl), cyano(alkyl), formyl, halo(alkoxy), heterocyclyl, hydroxy(alkyl), SH, NO2, or (un)substituted amino(alkyl), carbamoyl, or sulfamoyl; R4-R7 = independently R3 or L2R20 or R20L3R22; L2 = alkylene, alkenylene, O, S, SO, SO2, CO, C:NOR21, or (un)substituted amino; L3 = covalent bond, alkylene, alkenylene, O, S, CO, N:OR21, or (un)substituted amino; R20 and R22 = independently aryl, heterocyclyl, or cycloalkyl; R21 = H or alkyl; or pharmaceutically acceptable salts, esters, amides, or prodrugs thereof] where prepared for modulation of the histamine-3 (H3) receptors. For example, 4-hydroxy-4'-cyanobiphenyl was treated with NaI, NaOH, and NaOCl in MeOH to give 4'-hydroxy-3'-iodo-[1,1'-biphenyl]-4-carbonitrile (53%). Cyclization with 3-butyn-1-ol in DMF in the presence of CuI and Pd(PPh3)2Cl2 afforded 4-[2-(2-hydroxyethyl)-1-benzofuran-5-yl]benzonitrile (95%). Mesylation (89%), followed by addition of (2R)-2-methylpyrrolidine•HBr and Na2CO3 in AcCN (34%), produced II. The latter displayed binding activity to H3 receptors in rat brain cortex tissue with Ki of 4.44 nM. I are H3 receptor ligands that modulate function of the H3 receptor by antagonizing its activity. Thus, I are useful for the treatment of disorders ameliorated by H3 receptor ligands, especially Alzheimer's disease, attention-deficit hyperactivity disorder, epilepsy, narcolepsy, obesity, cognitive impairment, deficits of memory, deficits of learning, and dementia (no data).

MSTR 1

L6 ANSWER 7 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = C(O)
G2 = O
G9 = alkoxycarbonyl <containing 1-10 C>
G17 = C(O)
G19 = Ph (opt. substd. by (1-3) G25)
G25 = alkylthio <containing 1-10 C>
Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable salts, esters, amides, or prodrugs

L6 ANSWER 8 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:239851 MARPAT
TITLE: Electrophoretic displays using improved dispersants
INVENTOR(S): Obikawa, Takeshi; Katase, Makoto; Kinoshita, Satoshi; Uehara, Masamitsu
PATENT ASSIGNEE(S): Seiko Epson Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

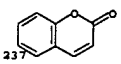
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002268097	A2	20020918	JP 2001-70371	20010313
US 2002175891	A1	20021128	US 2002-97361	20020312
US 6650463	B2	20031118		
PRIORITY APPLN. INFO.:			JP 2001-70371	20010313
			JP 2001-70372	20010313

AB The displays use organic compds. having ≥2 rings in structures in dispersants for electrophoretic particles. The displays have improved reliability and response speed.

MSTR 1

G1—G5
I

G1 = 237



G2 = SO3H
G9 = C(O)
G10 = Ph (opt. substd. by 1 or more G2)
Patent location: claim 1

L6 ANSWER 9 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:176913 MARPAT
TITLE: Yellow- to red light-emitting organic electroluminescence devices
INVENTOR(S): Mori, Tomohiko; Fujikawa, Hisayoshi; Ishii, Masahiko; Takeuchi, Hisato; Taga, Yasunori
PATENT ASSIGNEE(S): Toyota Central Research and Development Laboratories, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002237384	A2	20020823	JP 2001-31256	20010207
PRIORITY APPLN. INFO.:			JP 2001-31256	20010207

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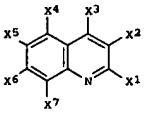


AB In the devices, (A) dyes Ar[C(Rn):C(R'n)]n-Q (n ≥2) or (B) dyes I [≥1 of X1-7 = [C(Rn):C(R'n)]n-Q; R, R' = H, OH, halo, alkyl, etc.; Ar = aromatic containing N, O, S atoms; Q = (un)substituted phenyl] are added to organic layers of triphenylamine derivs. having condensed polycyclic aromatic substituents larger than naphthalene. Devices showing stable and durable emission of red light having high color purity were obtained.

MSTR 1

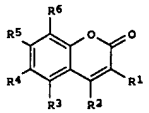
G1—G2—G4

G1 = quinolinyl (opt. substd. by 1 or more G6)
G2 = alkenylene <containing 4 or more C, unbranched> (opt. substd. by 1 or more G3)
G4 = Ph (opt. substd. by 1 or more G5)
G5 = alkylthio
G6 = alkenylene <containing 4 or more C, unbranched> (opt. substd. by 1 or more G3) / OH
Patent location: claim 1
Note: additional ring formation also claimed

L6 ANSWER 10 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:343292 MARPAT
TITLE: Retinoyl coumarin compounds, process for preparing and pharmaceutical compositions containing them
INVENTOR(S): Xu, Shiping; Han, Rui; Li, Lanmin; Cao, Xihua; Xu, Song; Xia, Lihjuan; Liu, Hongyan; You, Shengquan
PATENT ASSIGNEE(S): Institute of Materia Medica, Chinese Academy of Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 32 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1207392	A	19990210	CN 1997-116602	19970731
CN 1108297	B	20030514		
PRIORITY APPLN. INFO.:			CN 1997-116602	19970731

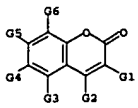
GI



AB The retinoyl coumarins (I; R1 = H, C1-18 alkyl, arylalkyl, haloalkyl, or CXYR7; R2 = H, C1-18 alkyl, haloalkyl, alkoxy, alkylcarbonyloxy, halo, OH, Ph, substituted Ph, CXXR7, or OR; R3 = H, OH, halo, C1-18 alkyl, haloalkyl, alkylcarbonyloxy, alkoxy, OR, CH2OR, or CXXR7; R4 = H, halo, C1-18 alkyl, haloalkyl, alkoxy, alkylcarbonyloxy, OH, or CXXR7; R5, and/or R6 = H, C1- 18 alkyl, haloalkyl, alkoxy, halo, alkylcarbonyloxy, OR, or CXYR7; R7 = H, halo, OH, C1-18 alkyl, haloalkyl, alkoxy, alkylcarboxy, or substituted phenyl; the substituted on benzene ring = C1-4 alkyl, haloalkyl, alkoxy, OH, halo, COOH, alkylcarboxy, NO2, CF3, SO3H, or NR8R9; R8, and/or R9 = H, alkyl, cycloalkyl, or R8 + R9 = heterocycle; X, and/or Y = H, N, NH, C, CH, or O; and R = retinoyl) is synthesized by cyclizing 2-R6-3-R5-4-R4-5-R3-phenol with 3-R2-2-R1-acrylic acid or its derivative, and allowing to react with retinoic acid. The retinoyl coumarins are useful for treatment of cancer, precancerous lesion, and dermatosis, etc.

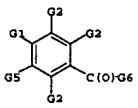
MSTR 1

L6 ANSWER 10 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G14 = C(O)
G15 = Ph (opt. substd. by 1 or more G16)
G16 = SO3H
Patent location: claim 1
Note: substitution is restricted

L6 ANSWER 11 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



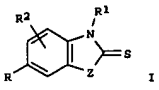
G5 = SH
G6 = quinolinyl (opt. substd. by 1 or more G7)
G7 = alkyl <containing 1-6 C>
(opt. substd. by (3) halo) / OH
Patent location: claim 10

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 11 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:81721 MARPAT
TITLE: Preparation of 3H-benzoxazole-2-thiones as peripheral analgesics
INVENTOR(S): Kalcheva-Batchvarova, Venetka Borissova; Boteva, Petya
Christova; Antonova, Antonina Tsovneva; Petrov, Ognyan
Ivanov; Mincheva, Zoia Petkova; Caignard, Daniel-Henri; Renard, Pierre; Bizot-Espiard, Jean-Guy
PATENT ASSIGNEE(S): Adir et Compagnie, Fr.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825913	A1	19980618	WO 1997-FR2171	19971202
W: AU, BR, CA, CN, HU, JP, NO, NZ, PL, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2756825	A1	19980612	FR 1996-15145	19961210
FR 2756825	B1	19990108		
AU 9878472	A1	19980703	AU 1998-78472	19971202
ZA 9711098	A	19980615	ZA 1997-11098	19971210
PRIORITY APPLN. INFO.:			FR 1996-15145	19961210
			WO 1997-FR2171	19971202

GI



I

AB Title compds. [I; R = CXYAr; Ar = (un)substituted (hetero)aryl; R1 = H or alkyl; R2 = H, halo, alkyl, alkoxy, etc.; X = H and Y = OH or XY = O; Z = O or S] were prepared Thus, 2-amino-5-benzoyl-4-chlorophenol was cyclocondensed with EtOCS2K to give I (R = COPh, R1 = H, R2 = 5-chloro, Z = O). Data for biol. activity of I were given.

MSTR 5

L6 ANSWER 12 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:142750 MARPAT
TITLE: Polyarylcarbamoylaza- and -carbamoylalkanedioic acids as squalene synthase inhibitors
INVENTOR(S): Pauls, Henry W.; Choi, Yong-Mi; Studt, Robert W.; Maguire, Martin P.; Spada, Alfred P.; Cha, Don D.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9618615	A1	19960620	WO 1995-US15364	19951129
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5556990	A	19960917	US 1994-357481	19941216
CA 2207429	AA	19960620	CA 1995-2207429	19951129
AU 9643698	A1	19960703	AU 1996-43698	19951129
AU 695852	B2	19980827		
EP 801644	A1	19971022	EP 1995-942489	19951129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 10511084	T2	19981027	JP 1995-518973	19951129
PRIORITY APPLN. INFO.:			US 1994-357481	19941216
			WO 1995-US15364	19951129

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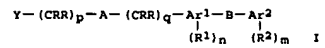
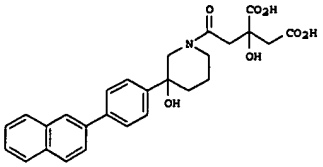


I



II

AB This invention relates to a class of novel dicarboxy amide deriva. of lipophilic amines I wherein: A is O, S, NR, SO, SO2, or a bond; B is

L6 ANSWER 12 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
(CRR)1-2, O, S, NR, SO, SO2, RC:CR, C.tplbond.C, CO, or a bond; Y is, e.g., RNZ(CRR)dCRR, N-Z-piperidyl, where Z is COWCR7[(CR3R4)fCO2R][(CR5R6)gCO2R]; W is a bond, (CRR)h, or NR; R = H, alkyl; R1, R2 are independently H, alkyl, alkoxy, OH, halo, haloalkyl, Ph;
R3-R6 are independently H, alkyl; R7 is H, NRR, or OH and when W is (CRR)h then R7 is OH; one of R3-R7 is OH; Ar1 and Ar2 are independently a mono- or diaryl or heteroaryl; p and q are independently 0-3; p + q is 0-4; d is 0-3; p + q + d is 1-3; f is 0-2; g is 0-2; h is 1-2; m and n are independently 0-2; which exhibit squalene synthase inhibition properties. Compds. of this invention reduce levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis. This invention relates also to pharmacol. compns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. Thus, e.g., coupling of prepd. intermediates 3-hydroxy-3-(4-naphth-2-ylphenyl)piperidine with 3-hydroxy-3,4-bis(ethoxycarbonyl)butanoic acid afforded the diester intermediate which was hydrolyzed to the diaryl carbamoyl alkanedioic acid II which exhibited inhibition of squalene synthase with IC50 = 27 nM.

MSTR 1A

G1—G16—G17—G18

G10 = S
G12 = OH
G16 = phenylene (opt. substd. by (1-2) G12)
G17 = C(O)
G18 = quinolinyl (opt. substd. by (1-2) G12)
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Stereochemistry: stereoisomers, enantiomers, diastereoisomers, and racemic mixtures

L6 ANSWER 13 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 123:285781 MARPAT
TITLE: Preparation of (pyranylbenzyloxy)coumarins and analogs as leukotriene biosynthesis inhibitors
INVENTOR(S): Fortin, Rejean; Girard, Yves; Grimm, Erich; Hutchinson, John; Scheigetz, John
PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.
SOURCE: Can. Pat. Appl., 85 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2125824	AA	19941224	CA 1994-2125824	19940614
US 5424320	A	19950613	US 1993-81528	19930623
PRIORITY APPLN. INFO.:			US 1993-81528	19930623

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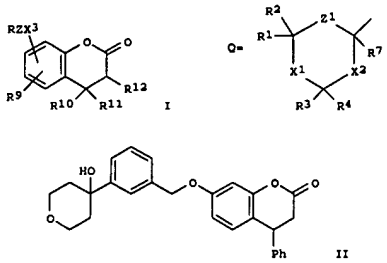
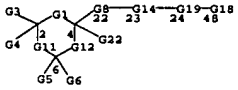


AB Title compds. [I; R = heterocyclyl group Q; R1 = H, OH, alkyl(oxy); R2,R4 = H, alkyl; R1R2 = O; R3 = H, (hydroxy)alkyl, alkoxyalkyl; R1R3 = (saturated)(oxa)alkylene; R7 = H, OH, alkyl(oxy), etc.; R9 = H, halo, OH, alkyl(oxy), etc.; R10 = H, alkyl, heteroaryl, etc.; R11,R12 = H, alkyl; R11R12 = bond; X1 = O, SO0-2, CH2; X2 = O, S, CH2, etc.; X3 = O, SO0-2, OCH2, CH2O, etc.; Z = (hetero)arylene; Z1 = CH(R5)m; R5 = H, OH, alkyl(oxy); m = 0 or 1] were prepared as leukotriene biosynthesis inhibitors (no data). Thus, 2,4-(HO)2C6H3COPh was etherified by 3-(4-hydroxytetrahydropyran-4-yl)benzyl bromide (preparation given) and the product cyclocondensed with Ph3P:CH2CO2Me to give title compound II.

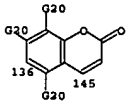
L6 ANSWER 13 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1



G8 = phenylene (opt. substd. by (1-3) G9)
G9 = alkylthio <containing 1-7 C>
G14 = 205

G18 = Me
G19 = 136-23 145-48

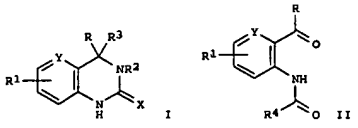


Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

L6 ANSWER 14 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:239715 MARPAT
TITLE: Preparation of antiviral quinazolinone derivates
INVENTOR(S): Koenig, Bernhard; Leser, Ulrike; Mertens, Alfred
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

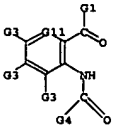
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4320347	A1	19941222	DE 1993-4320347	19930619
PRIORITY APPLN. INFO.:			DE 1993-4320347	19930619

GI

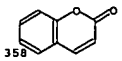


AB The title compds. [I; R = (un)substituted Ph, (un)substituted mono- to tricyclic heterocyclyl; R1 = H, (un)branched (un)saturated aliphatic residue, alkoxy, alkylmercapto, alkylsulfinyl, alkylsulfonyl, etc.; R2 = (un)substituted alkyl, (un)substituted alkenyl, cycloalkyl; R3 = H, optionally halo-substituted C1-6 alkyl; X = O, S; Y = N, CR1], useful as antiviral agents (no data) for the treatment of retroviral infections (no data), are prepared by the cyclocondensation of aryl ketones II (R4 = C1-6 alkyl, CBr3, CCl3, CF3) with amines H2NR2 in the presence of a catalytic amount of an acid. Thus, 4-phenyl-4-trichloromethyl-3,4-dihydroquinazolin-2(1H)one, m.p. 223-225°, was prepared from 2-trichloroacetylaminobenzophenone and EtNH2.HCl in DMSO.

MSTR 2



L6 ANSWER 14 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G1 = 358



G11 = 25

G12 = alkylthio <containing 1-6 C>
Patent location: claim 9

L6 ANSWER 15 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 119:225684 MARPAT
TITLE: Preparation of (3-acylaryl)cycloalkyl derivatives as inflammation inhibitors
INVENTOR(S): Naik, Ramachandra Ganapati; Mumbaikar, Vilas Narayan; Vasumathy, Rangarajan; Lakdawala, Aftab Dwoodbhai; Shirole, Mandakini Vithalrao; Lal, Bansi; Blumbach, Juergen; Weithmann, Klaus Ulrich; Bartlett, Robert Ryder
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 551849	A1	19930721	EP 1993-100279	19930111
EP 551849	B1	19961009		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
IN 173734	A	19940702	IN 1991-BO194	19910702
CZ 285937	B6	19991215	CZ 1992-4036	19921231
SK 280617	B6	20000516	SK 1992-4036	19921231
AT 143935	E	19961015	AT 1993-100279	19930111
ES 2093860	T3	19970101	ES 1993-100279	19930111
JP 06009476	A2	19940118	JP 1993-4720	19930114
JP 2949000	B2	19990913		
CA 2087414	AA	19930717	CA 1993-2087414	19930115
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AU 9331847	A1	19930722	AU 1993-31847	19930115
AU 662382	B2	19950831		
ZA 9300267	A	19930823	ZA 1993-267	19930115
CN 1076186	A	19930915	CN 1993-100484	19930115
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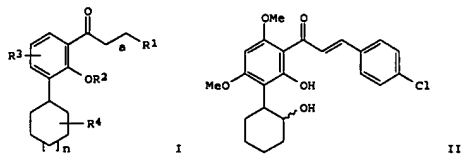
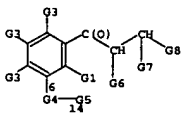
GI



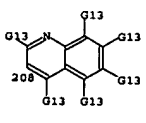
I II

L6 ANSWER 15 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB Title compds. I [R1 = (substituted) C1-6 alkyl, CO2H or CO2R (R = C1-4 alkyl), or various (substituted) Ph, quinolines, isoquinolines, and other heterocyclyls; R2 = H, C1-6 alkyl, COR' (R' = C1-6 alkyl throughout this abstract); R3 = 1-3 residues (independent of each other) = H, C1-6 alkyl, COR', CO2R', OH, OR', O2CR', halo; R4 = H, OH, OR', O2CR', CO2H, CO2R', various aminoalkylcarbonyloxy groups; n = 0-2; a = optional addnl. bond] and their isomers are prepared as inflammation inhibitors, particularly for prevention or treatment of chronic inflammatory conditions. Thus, reaction of 3 equiv 4-chlorobenzaldehyde with trans-(±)-2-(3-acetyl-4,6-dimethoxy-2-hydroxyphenyl)cyclohexanol [preparation given starting with 1,2,4,6-Br(MeO)3C6H2 and cyclohexanone] in 10% alc. NaOH at room temperature for 24 h followed by workup afforded title compound II in 68% yield. Compound II inhibited leukotriene-induced contractions of isolated guinea pig ileum with apparatus IC50 of 1.68 x 10-6 M, as well as inhibited granuloma formation induced by carrageenin, and microanaphylactic shock of guinea pigs. Pharmaceutical formulations of I are also claimed (no examples).

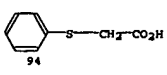
MSTR 1C



G8 = 208



G10 = 94

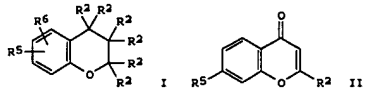


G13 = OH / CO2H / alkyl <containing 1-4 C>
(substd. by G10)
Patent location: claim 1

L6 ANSWER 16 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 116:235459 MARPAT
TITLE: Preparation of [(quinolylmethoxy)benzyloxy]chromenonec arboxylates and analogs as leukotriene antagonists
INVENTOR(S): Huang, Fu Chich; Campbell, Henry F.; Learn, Keith S.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 20 pp. Cont.-in-part of U.S. 4,977,162.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5082849	A	19920121	US 1991-659403	19910308
US 4977162	A	19901211	US 1989-379528	19890713
WO 9101123	A2	19910207	WO 1990-US3847	19900709
WO 9101123	A3	19910307		
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
PRIORITY APPLN. INFO.:			US 1989-379528	19890713
			WO 1990-US3847	19900709

GI

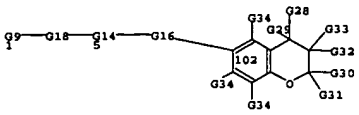


I II

AB Title compds. [I; R2 = H, (CRR1)eD(CRR1)fEZ; vicinal R2 may form band; geminal R2 may form O; R = H, (CH2)xM(CH2)yX; D = O, S, NR1, CR1:CR1; E = bond, CR1:CR1; M = band, O, S, NR1, CR1:CR1; R1 = H, (ar)alkyl; R5 = R7(CR1R1)aA(CR1R1)bZ1(CR1R1)cB(CR1R1)d; A = bond, O, S, CR1:CR1; B = bond, O, SO0-2, NR, CO, etc.; R6 = H, OH, alkoxy, halo, haloalkyl, etc.; R7 = (substituted) quinolyl; X = H, (cyclo)alkyl, aryl, acyl, alkoxy, etc.; Z = cyano, CO2R1, tetrazolyl, etc.; Z1 = (substituted) phenylenediyl; a, b = 0, 1; c-f, x, y = 0-3] were prepared Thus, 2,4-(HO)2C6H3COMe was cyclocondensed with (CO2Et)2 and the product treated, in turn, with NH3 and POCl3 to give chromenone II (R2 = cyano, R5 = OH) which was condensed with 2-[(3-chloromethylphenoxy)methyl]quinoline (preparation given) to give, after cyclocondensation with NaN3, II [R2 = 5-tetrazolyl, R5 = 3-(R7CH2O)C6H4CH2O, R7 = 2-quinolyl].

MSTR 1C

L6 ANSWER 16 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G14 = phenylene (opt. substd.)
G16 = C(O)
G18 = S
G30+G31= O
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: additional ring formation possible

```
=> d his

     (FILE 'HOME' ENTERED AT 15:01:10 ON 24 JAN 2006)

     FILE 'REGISTRY' ENTERED AT 15:01:15 ON 24 JAN 2006
L1              STRUCTURE UPLOADED
L2           16 S L1 SAM
L3          277 S L1 FULL

     FILE 'CA' ENTERED AT 15:01:40 ON 24 JAN 2006
L4            2 S L3

     FILE 'MARPAT' ENTERED AT 15:01:55 ON 24 JAN 2006
L5           18 S L1 FULL
L6           16 S L5/COM

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---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:03:07 ON 24 JAN 2006
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1/24/2004

10/824,456

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1203mxm

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	DEC 05	CASREACT(R) - Over 10 million reactions available
NEWS	4	DEC 14	2006 MeSH terms loaded in MEDLINE/LMEDLINE
NEWS	5	DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS	6	DEC 14	CA/CAplus to be enhanced with updated IPC codes
NEWS	7	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS	8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

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FILE 'HOME' ENTERED AT 15:39:49 ON 12 JAN 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 11 JAN 2006 HIGHEST RN 871792-80-2
DICTIONARY FILE UPDATES: 11 JAN 2006 HIGHEST RN 871792-80-2

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****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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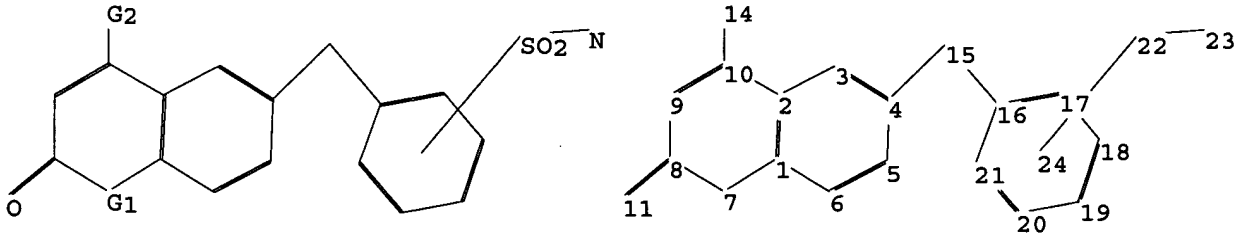
Uploading C:\Program Files\Stnexp\Queries\10824456.str



chain nodes :
11 14 15 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 16 17 18 19 20 21
chain bonds :
4-15 8-11 10-14 15-16 22-23
ring bonds :
1-2 1-6 1-7 2-3 2-10 3-4 4-5 5-6 7-8 8-9 9-10 16-17 16-21 17-18 18-19 19-20 20-21
exact/norm bonds :

1-7 2-10 4-15 7-8 8-9 8-11 9-10 10-14 15-16 22-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 : 16 :

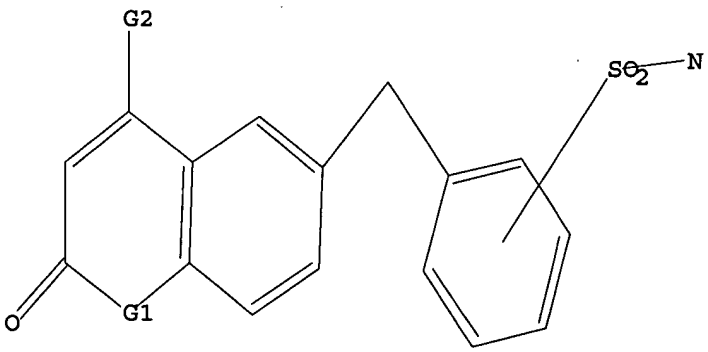
G1:O,N

G2:C,H,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:CLASS 23:CLASS 24:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,N
G2 C,H,O

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 47 TO ITERATE

100.0% PROCESSED 47 ITERATIONS 11 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 529 TO 1351
PROJECTED ANSWERS: 22 TO 418

L2 11 SEA SSS SAM L1

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=> s l1 full
FULL SEARCH INITIATED 15:40:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      872 TO ITERATE

100.0% PROCESSED      872 ITERATIONS                          207 ANSWERS
SEARCH TIME: 00.00.01

L3          207 SEA SSS FUL L1

=> file ca
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 166.94     167.15

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FILE COVERS 1907 - 5 Jan 2006 VOL 144 ISS 3
FILE LAST UPDATED: 5 Jan 2006  (20060105/ED)

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  substance identification.


=> s l3
L4            1 L3

=> d ibib abs fhtstr hitrn
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The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
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CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
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FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
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MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
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FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
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KWIC -------- Hit term plus 20 words on either side
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ENTER DISPLAY FORMAT (BIB):d ibib abs fhitstr hitrn
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CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
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FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
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STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
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              containing hit terms
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              its structure diagram
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              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
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information will be displayed in the same order as the format
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All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR,
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     FILE 'REGISTRY' ENTERED AT 15:39:53 ON 12 JAN 2006
L1             STRUCTURE UPLOADED
L2              11 S L1 SAM
L3             207 S L1 FULL

     FILE 'CA' ENTERED AT 15:40:13 ON 12 JAN 2006
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L4 1 S L3

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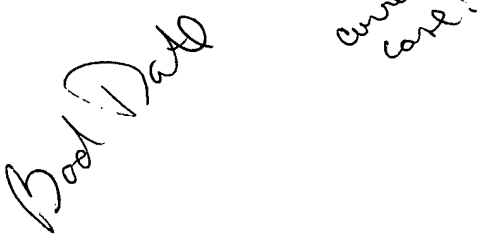
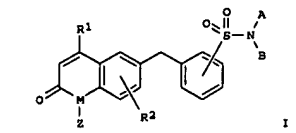
L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:6440 CA
TITLE: Benzyl sulfonamide quinoline and chromene derivatives as androgen receptor antagonists and their preparation, pharmaceutical compositions, and uses
INVENTOR(S): Du, Daniel Yonlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben; Williams, Geoffrey Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101544	A1	20041125	WO 2004-IB1570	20040503
WO 2004101544	C1	20051201		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005137228	A1	20050623	US 2004-824456	20040414
PRIORITY APPLN. INFO.:			US 2003-470569P	P 20030514

OTHER SOURCE(S): MARPAT 142:6440
GI





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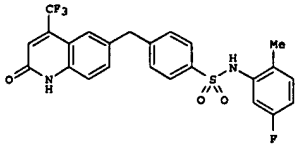
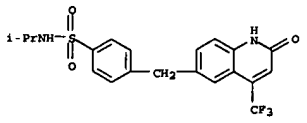


II

AB The invention is directed to 6-(sulfamoylbenzyl)-quinoline/chromene derivs. of formula I, to their use as androgen antagonists, and to formulations containing them. In particular, I are claimed [wherein: M is N(Z) or O; Z is H or alkyl; R1 is H, (halo)alkyl, (halo)alkoxy; R2 is absent, or 1-2 halogen, nitrile, hydroxy, alk(en/yn)yl, alkoxy, haloalkyl, haloalkoxy, SR4, and NR4R5; R4 is H, alkyl, (un)substituted Ph or CH2Ph; R5 = H, alkyl, (un)substituted Ph, benzyl, heteroaryl, or heterocyclic; A and B are independently H, alk(en/yn)yl, alkanol, (un)substituted cycloalkyl, cycloalkenyl, Ph, cycloalkylphenyl, heterocyclic, heteroaryl, alkyl-R6, (CH2)mR7Y(CH2)nXR5, and, (CH2)qCHX1X2; R6 is nitrile, OH, (un)substituted Ph, cycloalkylphenyl, heterocyclic, heteroaryl, cycloalk(en)yl, SR4, NR4R5; R7 is absent, or is (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, or Ph; R8 is absent or is alkyl, (un)substituted cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; m is 0, 1, 2, 3, or 4; Y is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; n is 0, 1, 2, 3, or 4; X is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; q is 0, 1, 2, 3, or 4; X1 is OH, nitrile, alk(en/yn)yl, alkanol, haloalkyl, haloalkoxy, (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; X2 is cycloalkyl, (un)substituted cycloalkenyl, heteroaryl, heterocyclic, Ph, cycloalkylphenyl, CH2C(O)OR4; including pharmaceutically acceptable salts, solvates, and prodrugs thereof]. Over 200 example compds. were prepared and tested in an androgen receptor assay in vitro. For instance, cyclocondensation of 4-benzylaniline with CF3COCH2CO2Et in refluxing PhMe, sulfonation of the product in H2SO4 at 90°, and treatment with (COCl)2, gave 4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonyl chloride. Treatment of this compd. or its chromene analog with a variety of amines gave compds. I, e.g., compd. II. In a test for inhibition of binding of DHT to androgen receptors expressed in MDA-MB453 human breast tumor cells, II had an IC50 value of 1.12 μM.

IT 799298-02-5P, N-Isopropyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzyl sulfonamide quinoline and chromene derivs. as androgen receptor antagonists)

RN 799298-02-5 CA
CN Benzenesulfonamide, 4-[[1,2-dihydro-2-oxo-4-(trifluoromethyl)-6-quinolinyl]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT 799298-02-5P, N-Isopropyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-03-6P, N-Butyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-04-7P, N-Benzyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-05-8P, N-Cyclohexyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-06-9P, N-Ethyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(pyridin-4-ylmethyl)benzenesulfonamide 799298-07-0P, N-Butyl-N-ethyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-08-1P, N-Isopropyl-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-09-2P, N-(2-Hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-propylbenzenesulfonamide 799298-10-5P, N-Benzyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-11-6P, N-(2-Cyanoethyl)-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-12-7P, N,N-Dibenzyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-13-8P, N,N-Bis(2-methoxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-14-9P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[2-(pyridin-2-yl)ethyl]benzenesulfonamide 799298-15-0P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-phenethylbenzenesulfonamide 799298-16-1P, N-(4-Ethynylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-17-2P, N-(3-Cyanophenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-18-3P, N-(3-Ethylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-19-4P, N-(2-Chlorophenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-20-7P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(quinolin-6-yl)benzenesulfonamide 799298-21-8P, N-Indan-5-yl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-22-9P, N-(3-Acetylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-23-0P, N-(4-Methylbiphenyl-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-24-1P, N-[3-(1-Hydroxyethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-25-2P, N-[3-(Methylsulfanyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-26-3P, N-(5-Fluoro-2-methylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-27-4P, N-(4-Chlorophenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-28-5P, N-(Isoquinolin-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-29-6P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(quinolin-3-yl)benzenesulfonamide 799298-30-9P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(quinolin-5-yl)benzenesulfonamide 799298-31-0P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(quinolin-8-yl)benzenesulfonamide 799298-32-1P, N-(Isoquinolin-5-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-33-2P, N-[4-Cyano-5-(methylsulfanyl)-2H-pyrazol-3-yl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-34-3P, N-(2,5-Dimethoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-35-4P, N-(3,5-Dimethoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-36-5P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(3,4,5-trifluorophenyl)benzenesulfonamide 799298-37-6P, N-[3-(Difluoromethoxy)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-38-7P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(5-phenyl-2H-pyrazol-3-yl)benzenesulfonamide 799298-39-8P, N-[3-(Oxazol-5-yl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-40-1P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[3-(trifluoromethyl)phenyl]benzenesulfonamide 799298-41-2P, N-(2-Bromophenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-42-3P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[2-(piperidin-1-yl)phenyl]benzenesulfonamide 799298-43-4P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[3-(trifluoromethoxy)phenyl]benzenesulfonamide 799298-44-5P, N-(3-Benzylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-45-6P, N-[3-(Benzyloxy)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-46-7P, N-Benzyl-N-(4-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-47-8P, N-[2-[(Cyclohexylmethylamino)methyl]phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-48-9P,

4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(2,2,3,3-tetrafluoro-2,3-dihydrobenzo[1,4]dioxin-5-yl)benzenesulfonamide 799298-49-0P, N-[4-(Isopropylphenylamino)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-50-3P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-

yl]methyl]-N-[4-[3-(trifluoromethyl)pyrazol-1-yl]phenyl]benzenesulfonamide 799298-51-4P, N-(3-Methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-52-5P, N-(2-Hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-53-6P, N-(1-Benzylpiperidin-4-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-54-7P, N-(2-Methoxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-55-8P, N-(3,3-Dimethylbutyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-56-9P, N-[(5-Methylfuran-2-yl)methyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-57-0P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[2-(pyrrolidin-1-yl)ethyl]benzenesulfonamide 799298-58-1P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-phenethylbenzenesulfonamide 799298-59-2P, N-(3-Methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-60-5P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[2-(pyridin-2-yl)ethyl]benzenesulfonamide 799298-61-6P, N-[3-(Imidazol-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-62-7P, N-[2-(Cyclohex-1-enyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-63-8P, N-[2-(Morpholin-4-yl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-64-9P, N-[3-(Dimethylamino)-2,2-dimethylpropyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-65-0P, N-(1-Methyl-1-phenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-66-1P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(2-phenylpropyl)benzenesulfonamide 799298-67-2P, N-(3-Methoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-68-3P, N-(5-Fluoro-2-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-69-4P, N-[3-(2-Oxopyrrolidin-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-70-7P, N-(2,6-Difluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-71-8P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(4-phenylbutyl)benzenesulfonamide 799298-72-9P, N-(2-Ethoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
yl]methyl]benzenesulfonamide 799298-73-0P, N-(3-Hydroxy-1-phenylpropyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-74-1P, N-[2-

(Methylsulfanyl)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-75-2P, N-[2-(4-Chlorophenyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-76-3P, N-[3-(4-Methylpiperazin-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-77-4P, N-(5-Chloro-2-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-78-5P, N-(3-Chloro-2-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-79-6P, N-(2-Chloro-6-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-80-9P, N-[2-(1H-Indol-3-yl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-81-0P,

N-(3,5-Dimethoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-82-1P,

N-[2-(4-Fluorophenyl)-1,1-dimethylethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-83-2P, N-[2-(tert-Butylsulfanyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-84-3P, N-[2-(Difluoromethoxy)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-85-4P, N-(2-Chloro-6-fluoro-3-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-86-5P,

N-(2,4-Dichlorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-87-6P, N-(1-Benzylpyrrolidin-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-88-7P, N-(2-Chloro-3,6-difluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-89-8P, N-[3-

(Hydroxymethyl)bicyclo[2.2.1]hept-2-yl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-91-2P, N-[2-Fluoro-5-(trifluoromethyl)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-93-4P,

N-(2,2-Diphenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-94-5P, N-[2-

(Benzyloxy)cyclohexyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-95-6P, N-[2-[[2-(Hydroxymethyl)phenyl]sulfanyl]benzyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-96-7P,

N-Cyclohexyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799298-97-8P, N-Methyl-N-(1-methylpiperidin-4-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799298-98-9P, N,N-Dibutyl-4-[[2-oxo-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799298-99-0P, N-Ethyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(pyridin-4-ylmethyl)benzenesulfonamide 799299-00-6P, N-Butyl-N-ethyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-01-7P, N-Butyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-02-8P, N-Isopropyl-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-03-9P, N-(2-Hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-propylbenzenesulfonamide 799299-04-0P, N-Benzyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-05-1P, N-(Cyclopropylmethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-propylbenzenesulfonamide 799299-06-2P, N-(2-Hydroxyethyl)-4-[[2-

oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-pentylbenzenesulfonamide 799299-07-3P, N-Cyclohexyl-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-08-4P, N-Butyl-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-09-5P, N-(2-Cyanoethyl)-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-10-8P, N,N-Dibenzyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-11-9P, N-Benzyl-N-ethyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-12-0P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[2-(pyridin-2-yl)ethyl]benzenesulfonamide 799299-13-1P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-phenethylbenzenesulfonamide 799299-14-2P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-phenylbenzenesulfonamide 799299-15-3P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-phenylbenzenesulfonamide 799299-16-4P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-m-tolylbenzenesulfonamide 799299-17-5P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-p-tolylbenzenesulfonamide 799299-18-6P, N-(4-Fluorophenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-19-7P, N-(4-Ethynylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-20-0P, N-(3-Ethylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-21-1P, N-(4-Ethylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-22-2P, N-[2-(Hydroxymethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-23-3P, N-[3-(Hydroxymethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-24-4P, N-(2-Methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-25-5P, N-[4-(Hydroxymethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-26-6P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(quinolin-6-yl)benzenesulfonamide 799299-27-7P, N-[4-(Cyanomethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-28-8P, N-(Indan-5-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-29-9P, N-(Indan-4-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-30-2P, N-(3-Acetylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-31-3P, N-(4-Isopropylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
799299-32-4P, N-Benzo[1,3]dioxol-5-yl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-33-5P, N-(4-Methylbiphenyl-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-34-6P, N-[3-(1-Hydroxyethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-35-7P, N-[3-(Methylsulfanyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-36-8P, N-(4-Chlorophenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-37-9P, N-(Isoquinolin-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-38-0P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(quinolin-8-yl)benzenesulfonamide 799299-39-1P, N-[4-Cyano-5-

(methylsulfanyl)-2H-pyrazol-3-yl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-40-4P, N-(2-Methylquinolin-6-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-41-5P, N-[2,5-Dimethoxyphenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-42-6P, N-[3,5-Dimethoxyphenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-43-7P, N-[3-Isopropoxyphenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-44-8P, N-[3-(Difluoromethoxy)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-45-9P, N-[3-(Oxazol-5-yl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-46-0P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[3-(trifluoromethyl)phenyl]benzenesulfonamide 799299-47-1P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[2-(piperidin-1-yl)phenyl]benzenesulfonamide 799299-48-2P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[3-(trifluoromethoxy)phenyl]benzenesulfonamide 799299-50-6P, N-(3-Benzylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-51-7P, N-(4-Ethoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-52-8P, N-[4-(2-Hydroxyethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-53-9P, N-[3-(Benzyloxy)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-54-0P, N-(3,5-Di-tert-butylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-55-1P, N-Benzyl-N-(4-methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-56-2P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-

yl]methyl]-N-[4-[3-(trifluoromethyl)pyrazol-1-yl]phenyl]benzenesulfonamide 799299-57-3P, N-(3-Methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-58-4P, N-(1-Benzylpiperidin-4-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-59-5P, N-Cyclopentyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-60-8P
, N-(3-Methylbutyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-61-9P, N-(2,3-Dihydroxypropyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-62-0P, N-(3,3-Dimethylbutyl)-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-63-1P**, N-Benzyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-64-2P**, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(pyridin-3-ylmethyl)benzenesulfonamide **799299-65-3P**, N-[(5-Methylfuran-2-yl)methyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-66-4P**, N-(Cyclohexylmethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-67-5P**, N-Cycloheptyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-68-6P**,

N-[1-(Hydroxymethyl)cyclopentyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-69-7P**, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-phenethylbenzenesulfonamide **799299-70-0P**, N-(3-Methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-71-1P**, N-(4-Methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-72-2P**, N-(2-Methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-73-3P**, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(1-phenylethyl)benzenesulfonamide **799299-74-4P**, N-(4-Fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-75-5P**, N-[3-(Imidazol-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-76-6P**, N-[2-(Cyclohex-1-enyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-77-7P**, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[2-(thiophen-2-yl)ethyl]benzenesulfonamide **799299-78-8P**, N-[(2-Hydroxycyclohexyl)methyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-79-9P**, N-(Indan-1-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-80-2P**, N-(1-Methyl-1-phenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-81-3P**, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(2-phenylpropyl)benzenesulfonamide **799299-82-4P**, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(2-phenoxyethyl)benzenesulfonamide **799299-83-5P**, N-(4-Methoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-84-6P**, N-(3-Methoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-85-7P**, N-(2-Hydroxy-1-phenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-86-8P**, N-(5-Fluoro-2-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-87-9P**, N-(3-Chlorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-88-0P**, N-[3-(2-Oxopyrrolidin-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-89-1P**, N-(2,6-Difluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-90-4P**, N-[(2,3-

Dihydrobenzofuran-5-yl)methyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-91-5P**, N-[2-(2-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
Methoxyphenyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-92-6P**, N-(2-Ethoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-93-7P**, N-(3-Hydroxy-1-phenylpropyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-94-8P**, N-(4-Hydroxycyclohexyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-95-9P**, N-[2-(Methylsulfanyl)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-96-0P**, N-[2-(4-Chlorophenyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-97-1P**, N-(2-Chloro-6-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-98-2P**, N-(2,3-Difluoro-4-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799299-99-3P**, N-(2-Chloro-4-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-00-8P**, N-[2-(Difluoromethoxy)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-01-9P**, N-(2-Chloro-6-fluoro-3-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-02-0P**, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[2-(trifluoromethyl)benzyl]benzenesulfonamide **799300-03-1P**, N-(2-Chloro-3,6-difluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-04-2P**, N-(2-Bromobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-05-3P**, N-[2-Fluoro-5-(trifluoromethyl)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-07-5P**, N-(2,2-Diphenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-08-6P**, N-(4-Bromo-2-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-09-7P**, N-[2-(Benzyloxy)cyclohexyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-10-0P**, N-[2-[(2-Chloro-6-fluorobenzyl)sulfanyl]ethyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide **799300-11-1P**, N-(Cyclopropylmethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-propylbenzenesulfonamide **799300-12-2P**, N-Butyl-N-methyl-4-[[2-

oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzyl sulfonamide quinoline and chromene derivs. as androgen receptor antagonists)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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L1             STRUCTURE UPLOADED
L2          11 S L1 SAM
L3         207 S L1 FULL

     FILE 'CA' ENTERED AT 15:40:13 ON 12 JAN 2006
L4           1 S L3

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COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 5.62     172.77

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
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L5           0 L3

=> file marpat
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.44     173.21

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00      -0.71

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FILE CONTENT: 1988-PRESENT (VOL 144 ISS 1 (20060101/ED)

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(COVERAGE TO THESE DATES IS NOT COMPLETE):

US   6949561 27 SEP 2005
DE 1020040544 15 SEP 2005
EP   1582199 05 OCT 2005
JP 2005320486 17 OCT 2005
WO 2005110983 24 NOV 2005

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FULL SCREEN SEARCH COMPLETED -   12807 TO ITERATE

100.0% PROCESSED   12807 ITERATIONS   1 ANSWERS
SEARCH TIME: 00.00.13

L6   1 SEA SSS FUL L1

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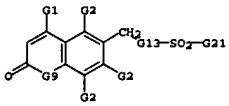
L6 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:6440 MARPAT
TITLE: Benzyl sulfonamide quinoline and chromene derivatives as androgen receptor antagonists and their preparation, pharmaceutical compositions, and uses
INVENTOR(S): Du, Daniel Yonlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben; Williams, Geoffrey
Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101544	A1	20041125	WO 2004-IB1570	20040503
WO 2004101544	C1	20051201		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005137228	A1	20050623	US 2004-824458	20040414

PRIORITY APPLN. INFO.: US 2003-470569P 20030514
GI

L6 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
in vitro. For instance, cyclocondensation of 4-benzylaniline with CF3COCH2CO2Et in refluxing PhMe, sulfonation of the product in H2SO4 at 90°, and treatment with (COCl)2, gave 4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonyl chloride. Treatment of this compd. or its chromene analog with a variety of amines gave compds. I, e.g., compd. II. In a test for inhibition of binding of DHT to androgen receptors expressed in MDA-MB453 human breast tumor cells, II had an IC50 value of 1.12 μM.

MSTR 1

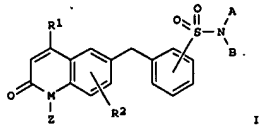


G1 = Me
G9 = O
G13 = o-C6H4
G21 = 16

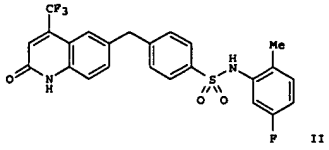
Patent location: claim 1
Note: and pharmaceutically acceptable salts, solvates, and prodrugs

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



II

AB The invention is directed to 6-(sulfamoylbenzyl)-quinoline/chromene derivs. of formula I, to their use as androgen antagonists, and to formulations containing them. In particular, I are claimed [wherein: M is N(Z) or O; Z is H or alkyl; R1 is H, (halo)alkyl, (halo)alkoxy; R2 is absent, or 1-2 halogen, nitrile, hydroxy, alk(en/yn)yl, alkoxy, haloalkyl, haloalkoxy, SR4, and NR4R5; R4 is H, alkyl, (un)substituted Ph or CH2Ph; R5 = H, alkyl, (un)substituted Ph, benzyl, heteroaryl, or heterocyclic; A and B are independently H, alk(en/yn)yl, alkanol, (un)substituted cycloalkyl, cycloalkenyl, Ph, cycloalkylphenyl, heterocyclic, heteroaryl, alkyl-R6, (CH2)mR7Y(CH2)nXR5, and, (CH2)qCHX1X2; R6 is nitrile, OH, (un)substituted Ph, cycloalkylphenyl, heterocyclic, heteroaryl, cycloalk(en)yl, SR4, NR4R5; R7 is absent, or is (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, or Ph; R8 is absent or is alkyl, (un)substituted cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; m is 0, 1, 2, 3, or 4; Y is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; n is 0, 1, 2, 3, or 4; X is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; q is 0, 1, 2, 3, or 4; X1 is OH, nitrile, alk(en/yn)yl, alkanol, haloalkyl, haloalkoxy, (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; X2 is cycloalkyl, (un)substituted cycloalkenyl, heteroaryl, heterocyclic, Ph, cycloalkylphenyl, CH2C(O)OR4; including pharmaceutically acceptable salts, solvates, and prodrugs thereof]. Over 200 example compds. were prepared and tested in an androgen receptor assay

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=> d his

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     FILE 'REGISTRY' ENTERED AT 15:39:53 ON 12 JAN 2006
L1              STRUCTURE UPLOADED
L2           11 S L1 SAM
L3          207 S L1 FULL

     FILE 'CA' ENTERED AT 15:40:13 ON 12 JAN 2006
L4            1 S L3

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L5            0 S L3

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L6            1 S L1 FULL

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                122.17     295.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                -0.71      -1.42

STN INTERNATIONAL LOGOFF AT 15:41:44 ON 12 JAN 2006
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